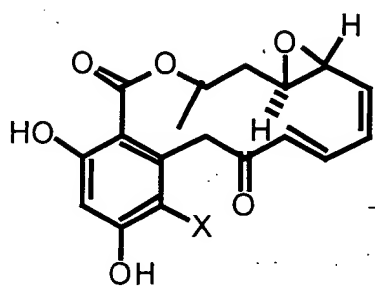
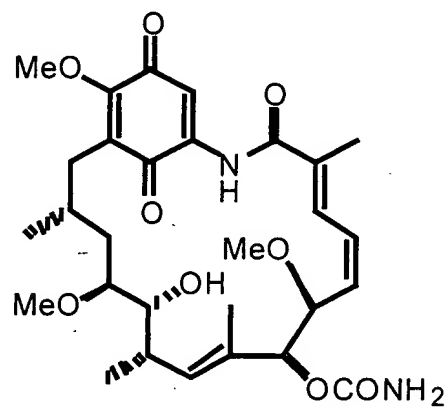


Figure 1. Structures of Monocillin I, Radicol and Geldanamycin



X = Cl Radicol ( 1 )  
X = H Monocillin I ( 2 )



Geldanamycin ( 3 )

Figure 1

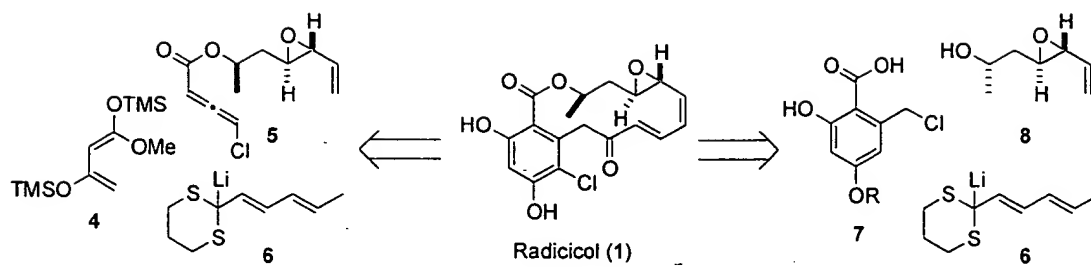
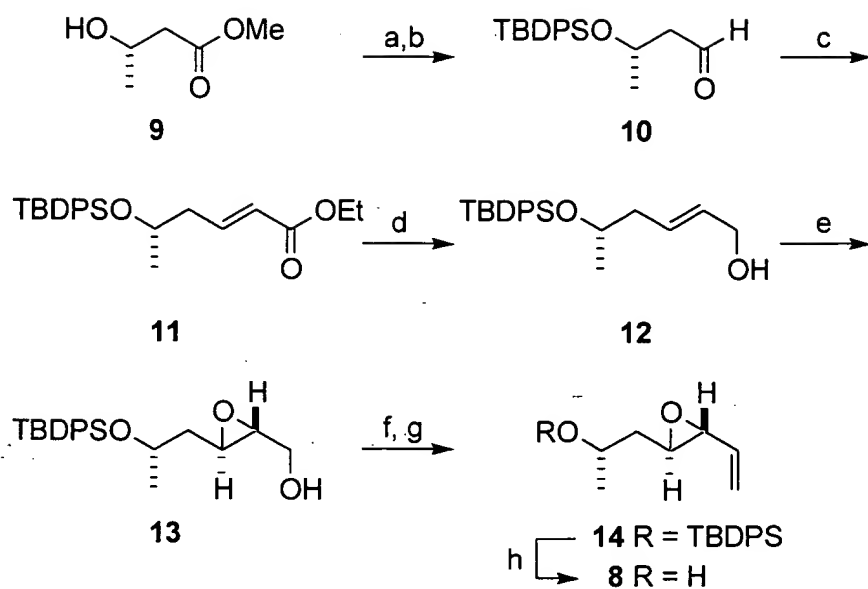


Figure 2

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(a) TBDPSCI, imid., >95%; (b) DIBAL-H,  $-78^{\circ}\text{C}$ , 92%; (c) LiCl, DIPEA  $(\text{EtO})_2\text{P}(\text{O})\text{CH}_2\text{CO}_2\text{Et}$ , 95%;  
 (d) DIBAL-H,  $-20^{\circ}\text{C}$ , 96%; (e) (+)-DET,  $\text{Ti}(\text{O}i\text{Pr}_4)$ , TBHP, 90%, >95% ee; (f)  $\text{SO}_3\cdot\text{pyridine}$ ,  $\text{Et}_3\text{N}$ , DMSO, 90%;  
 (g)  $\text{Ph}_3\text{PCH}_3\text{Br}$ , NaHMDS,  $0^{\circ}\text{C}$ , 82%; (h) TBAF, 89%.

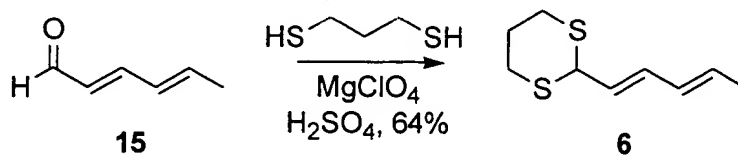
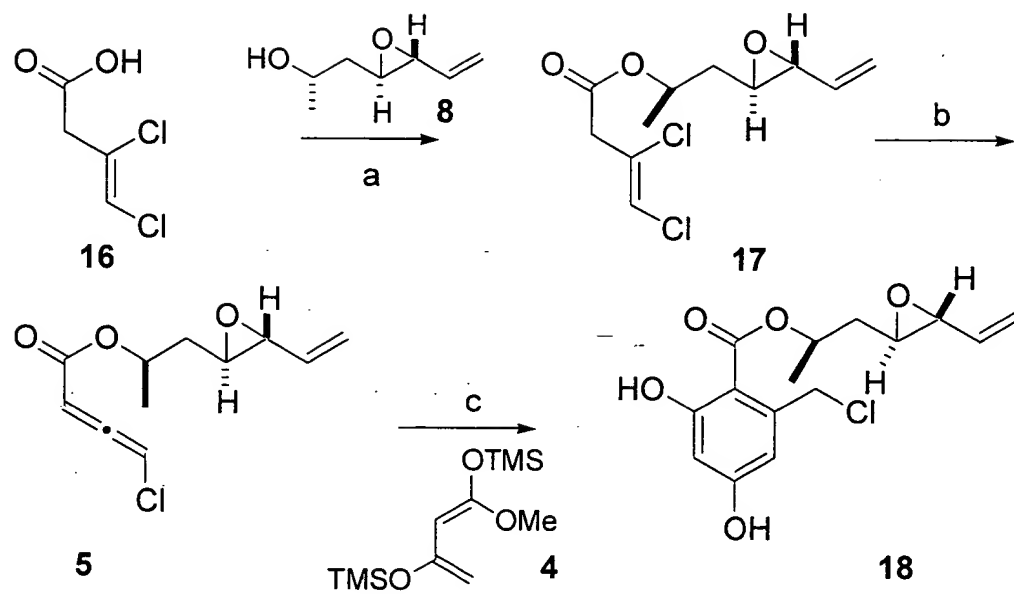


Figure 3



a. DEAD, PPh<sub>3</sub>, 70%; b. iPr<sub>2</sub>NEt, 70%; c. 50% (4:1)

**Figure 4**

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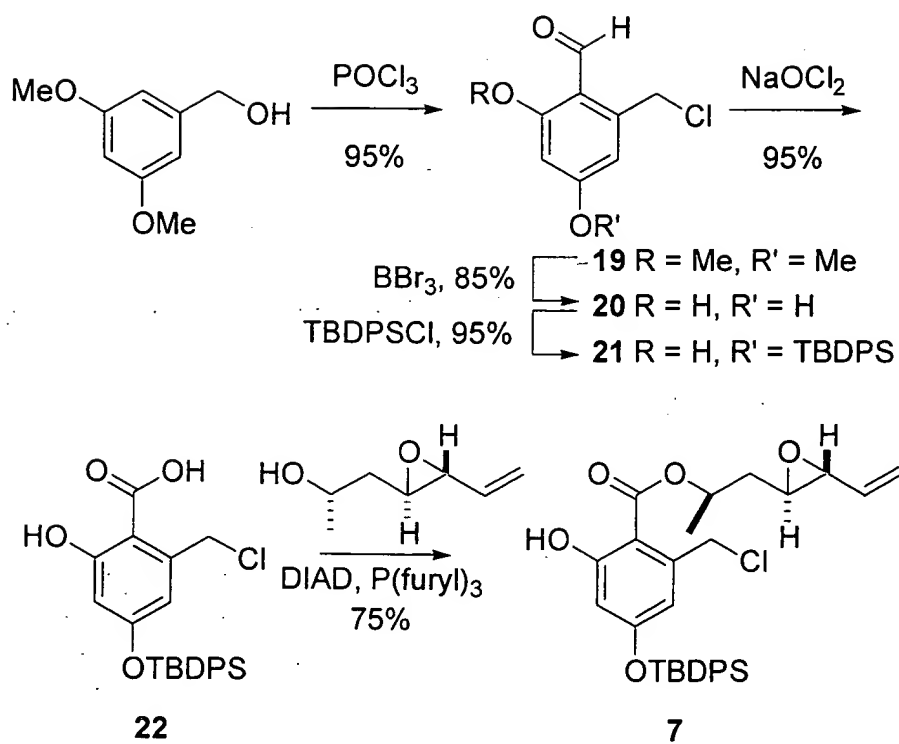
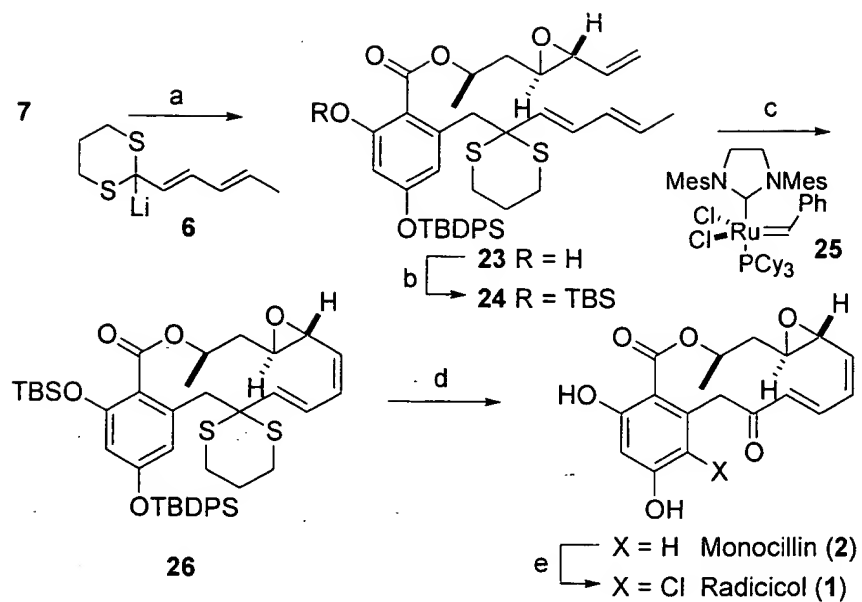


Figure 5

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a. *n*-BuLi, -78° C, 50% (6:1); b. TBSCl, 83%; c. 42 °C, 70%; d. (i) mCPBA, (ii) Ac<sub>2</sub>O, Et<sub>3</sub>N, H<sub>2</sub>O, 60°C, (iii) NaHCO<sub>3</sub>, MeOH, 60%; e. SO<sub>2</sub>Cl<sub>2</sub>, 50%

Figure 6

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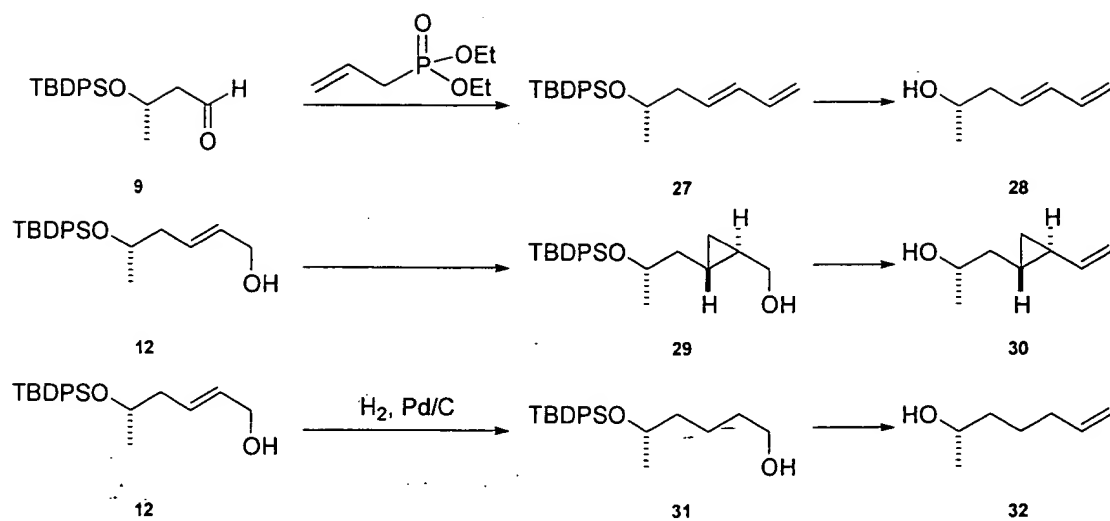
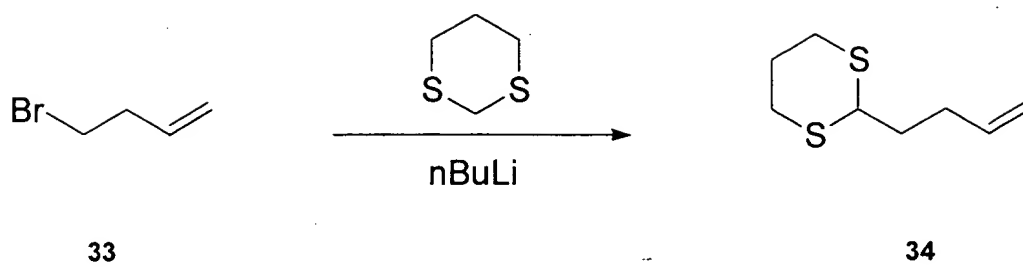


Figure 7

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*Figure 8*



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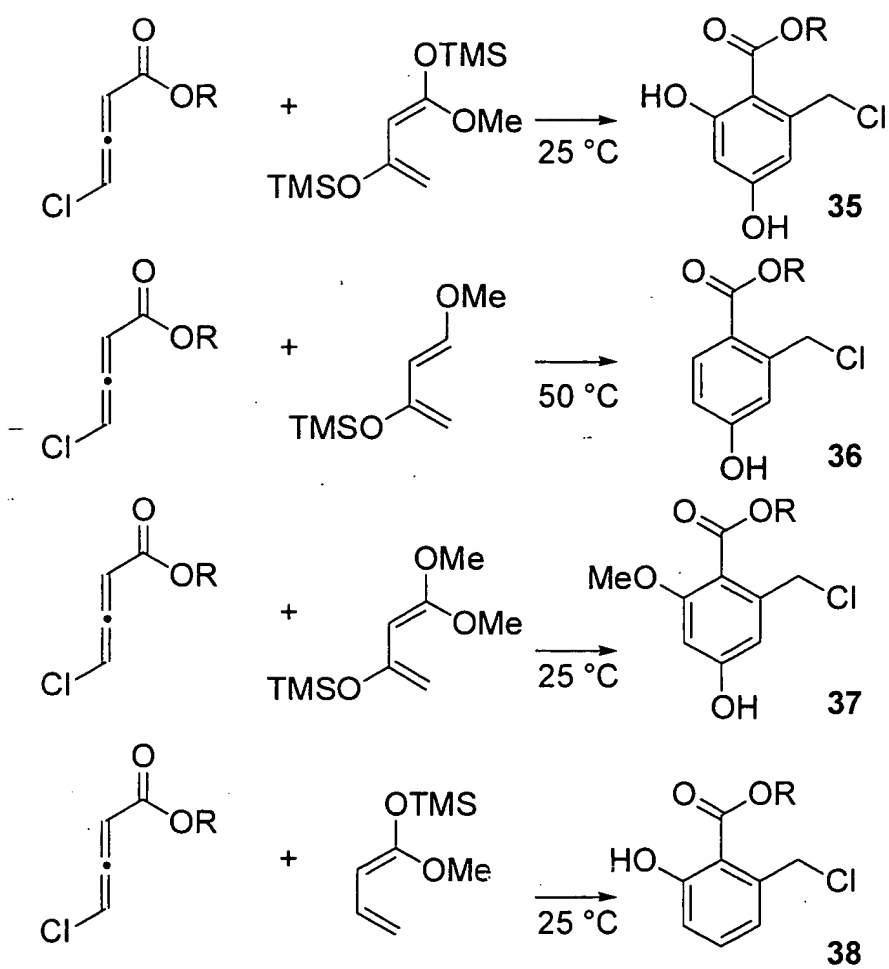


Figure 9

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# Generation of Diversity at Aromatic Positions

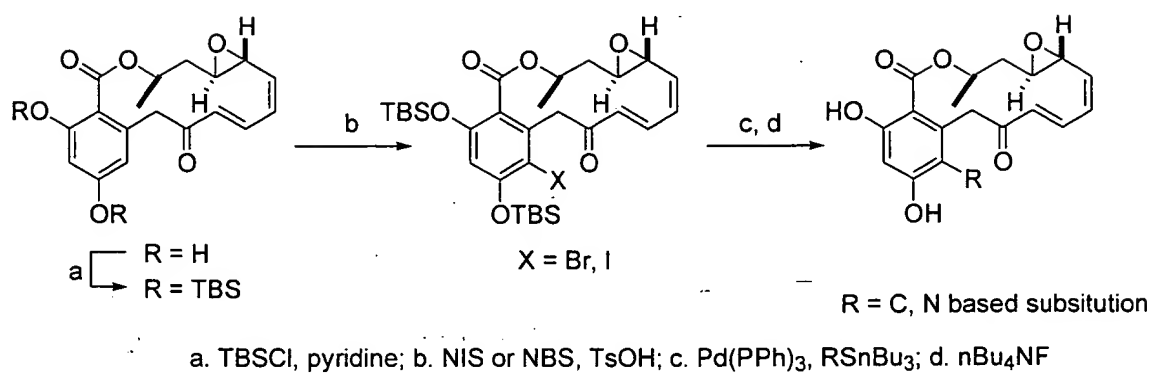


Figure 10

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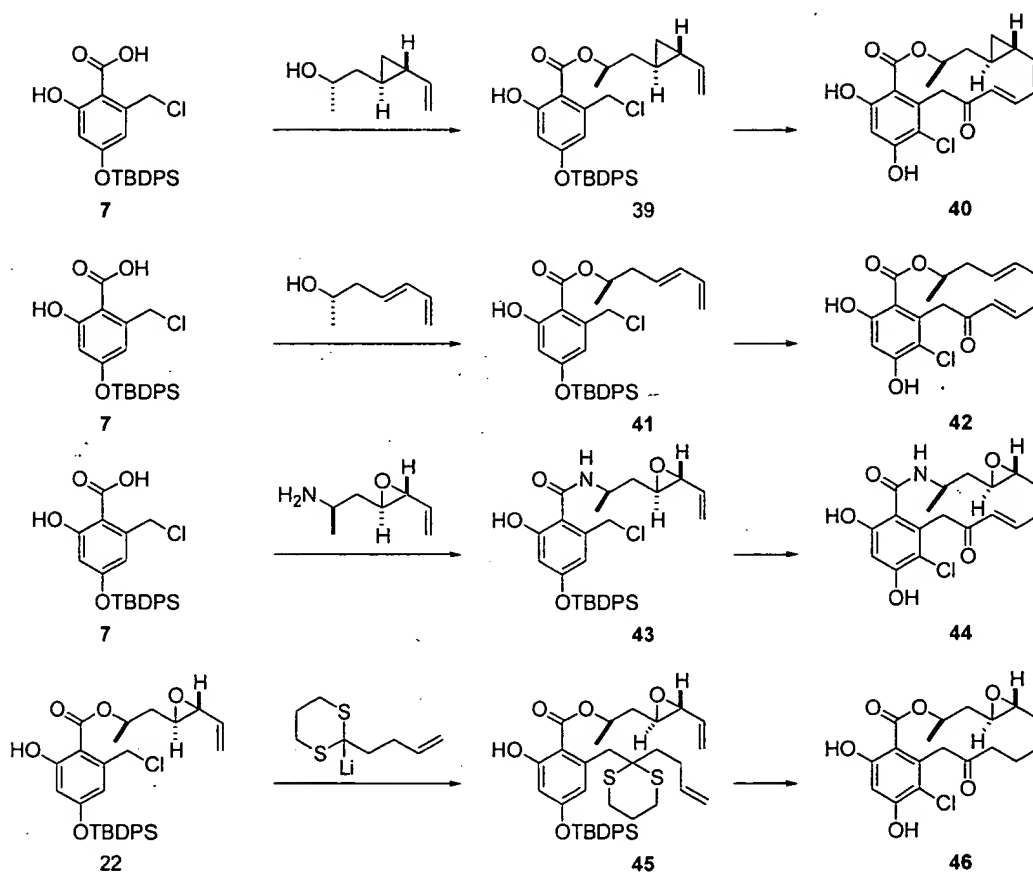


Figure 11

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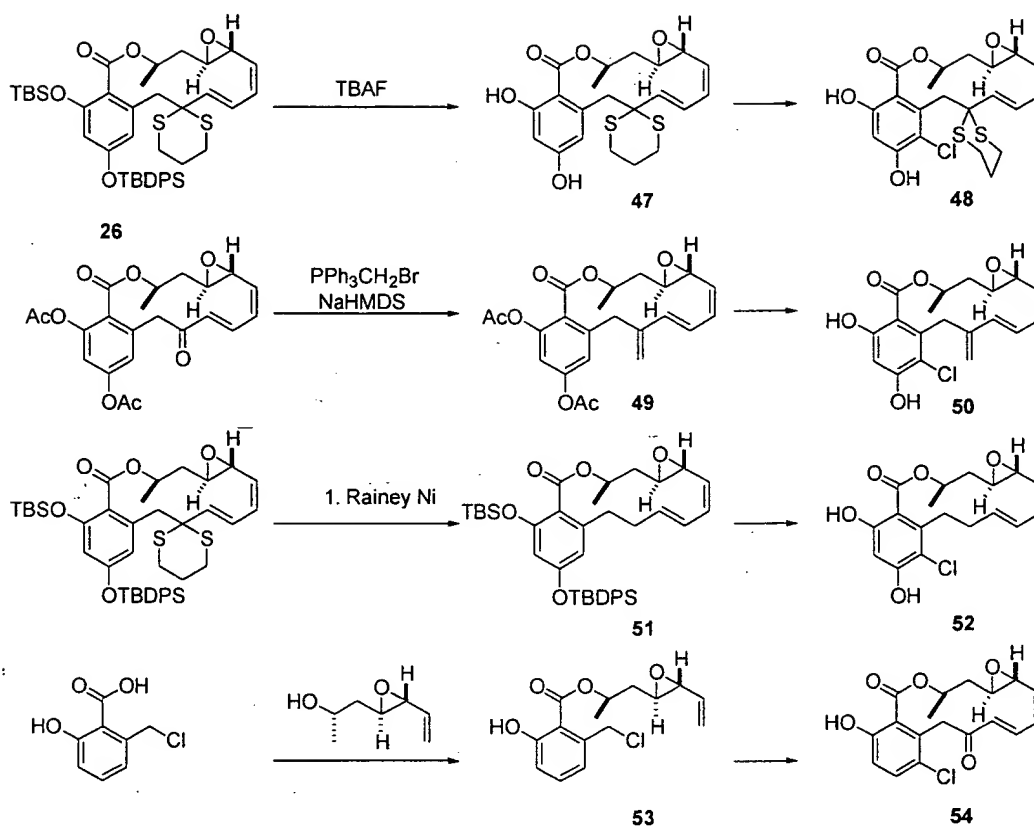
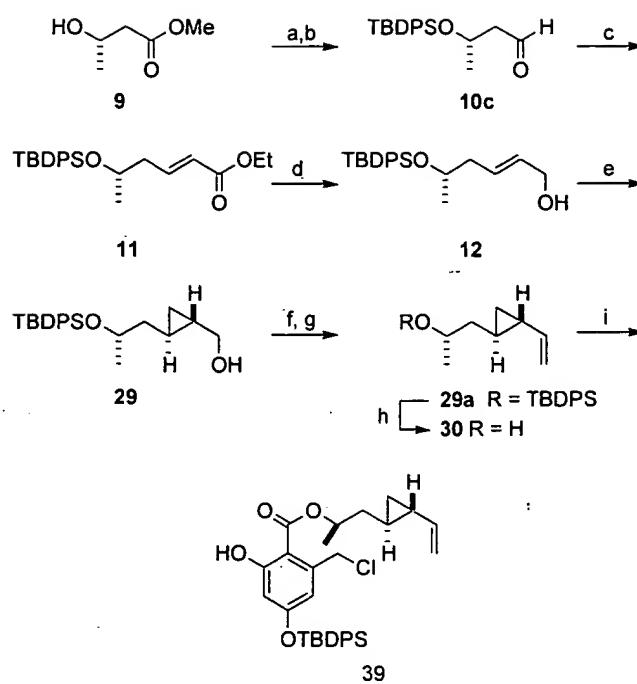
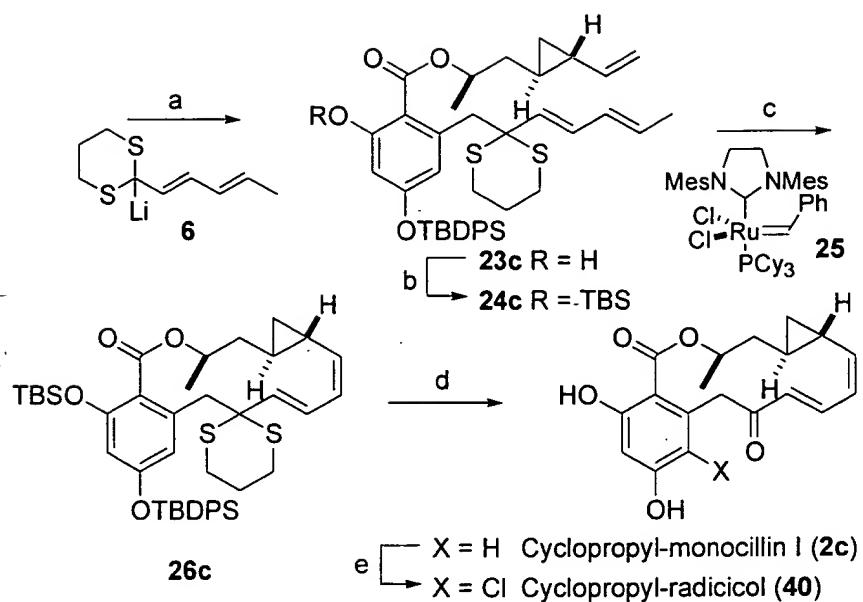


Figure 12



<sup>a</sup> (a) TBDPSCI, imid., >95%; (b) DIBAL-H, -78 °C, 92%; (c) LiCl, DIPEA (EtO)<sub>2</sub>P(O)CH<sub>2</sub>CO<sub>2</sub>Et, 95%; (d) DIBAL-H, -20 °C, 96%; (e) (+)-tetramethyltartaric acid diamide-BBu, Et<sub>2</sub>Zn, CH<sub>2</sub>I<sub>2</sub>, 9 >95% ee; (f) SO<sub>3</sub>·pyridine, Et<sub>3</sub>N, DMSO, 90%; (g) Ph<sub>3</sub>PCH NaHMDS, 0 °C, 82%; (h) TBAF, 89%; (i) 7, P(furyl)<sub>3</sub>, DIA benzene, 60%

Figure 13



a. *n*-BuLi, -78° C, 75% (3:1); b. TBSCl, 83%; c. 42 °C, 20%; d. (i) mCPBA, (ii) Ac<sub>2</sub>O, Et<sub>3</sub>N, H<sub>2</sub>O, 60°C, (iii) NaHCO<sub>3</sub>, MeOH, 60%; e. SO<sub>2</sub>Cl<sub>2</sub>, 80%

Figure 14

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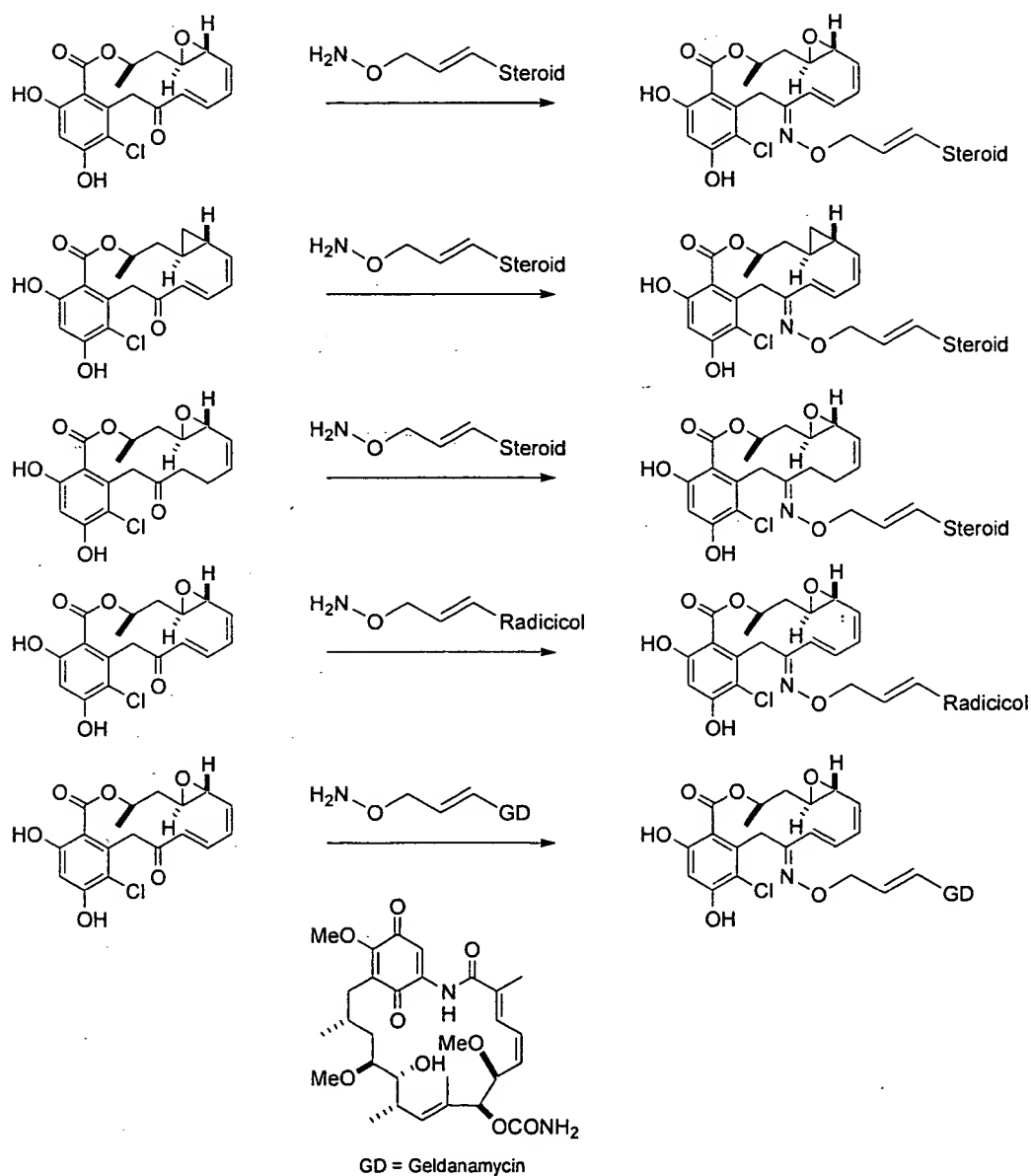


Figure 15

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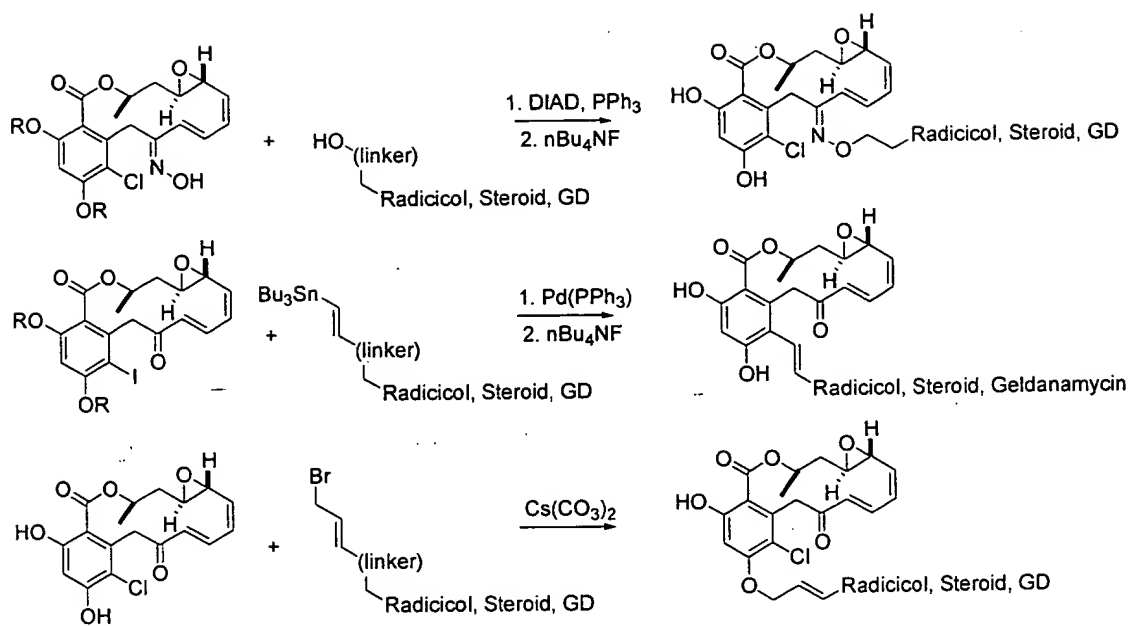
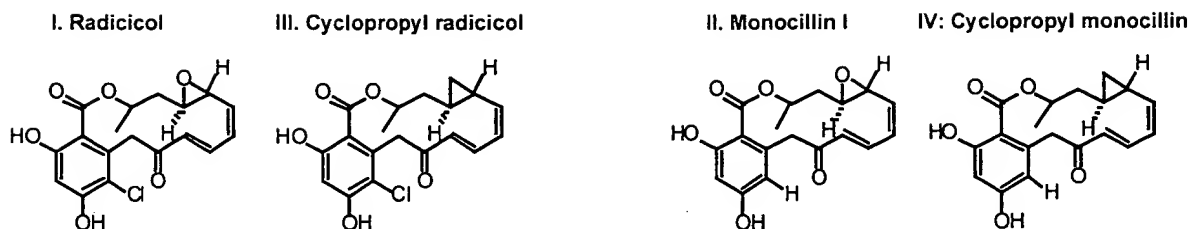


Figure 16



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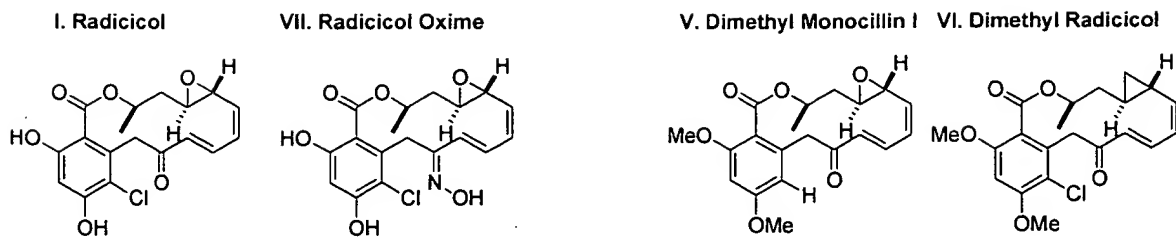


*MCF7 Cells Treated with Radicicol and Analogues*

vehicle radicicol cyclopropyl  
0.5 1 2.5 5 0.5 1 2.5 5  $\mu$ M

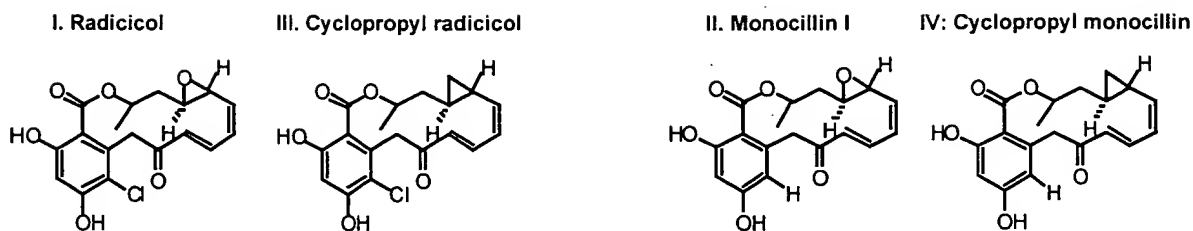
vehicle monocillin deschloro-cyclopropyl  
0.5 1 2.5 5 0.5 1 2.5 5  $\mu$ M

KE2



**Figure 17**

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**BT474 Cells Treated with Novel Radicicols (24 hrs.)**

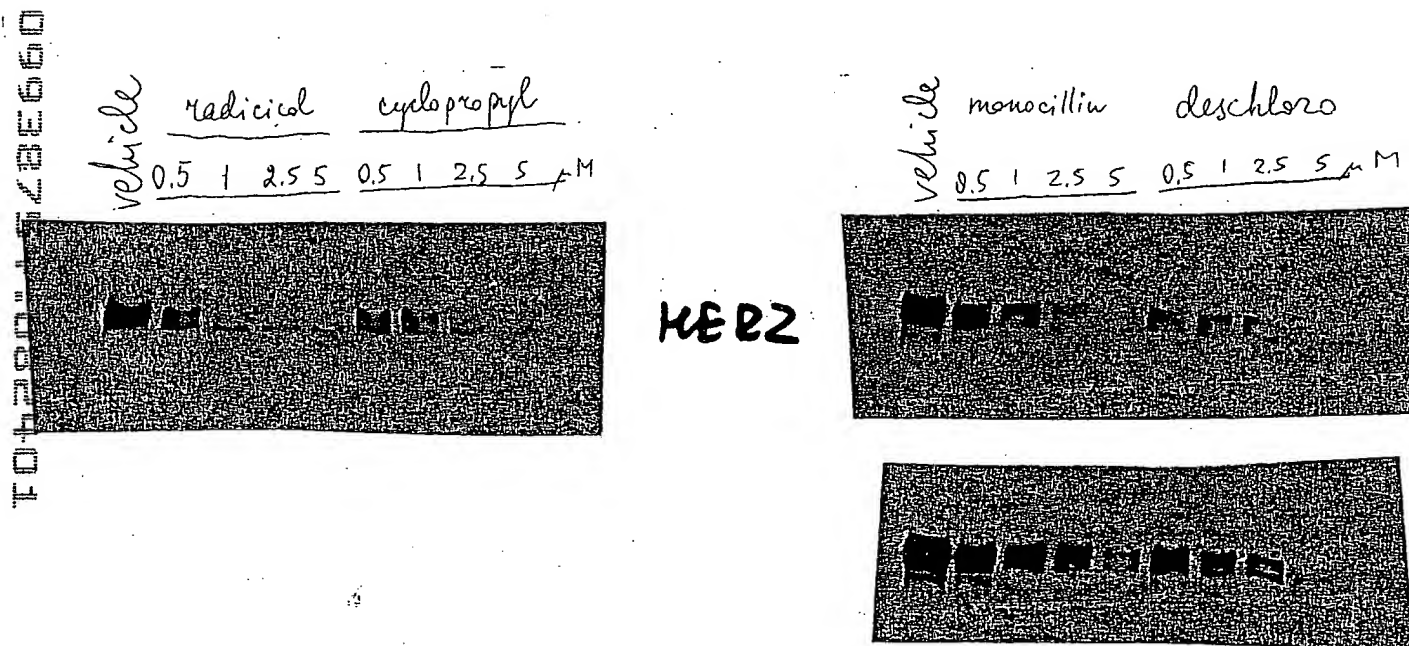


Figure 18

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# Growth of MCF7 Treated with Radicicol and Derivatives of Radicicol

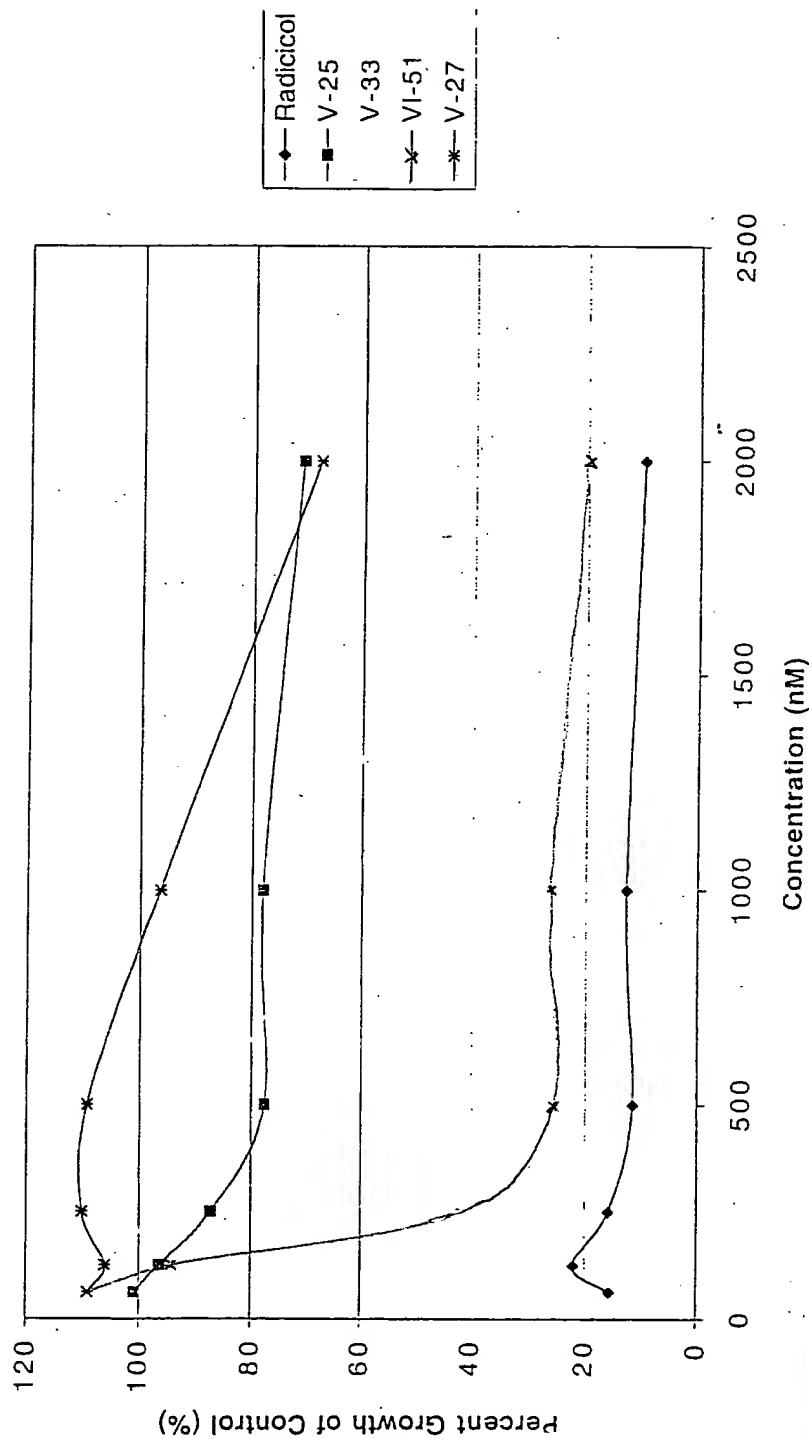


Figure 19

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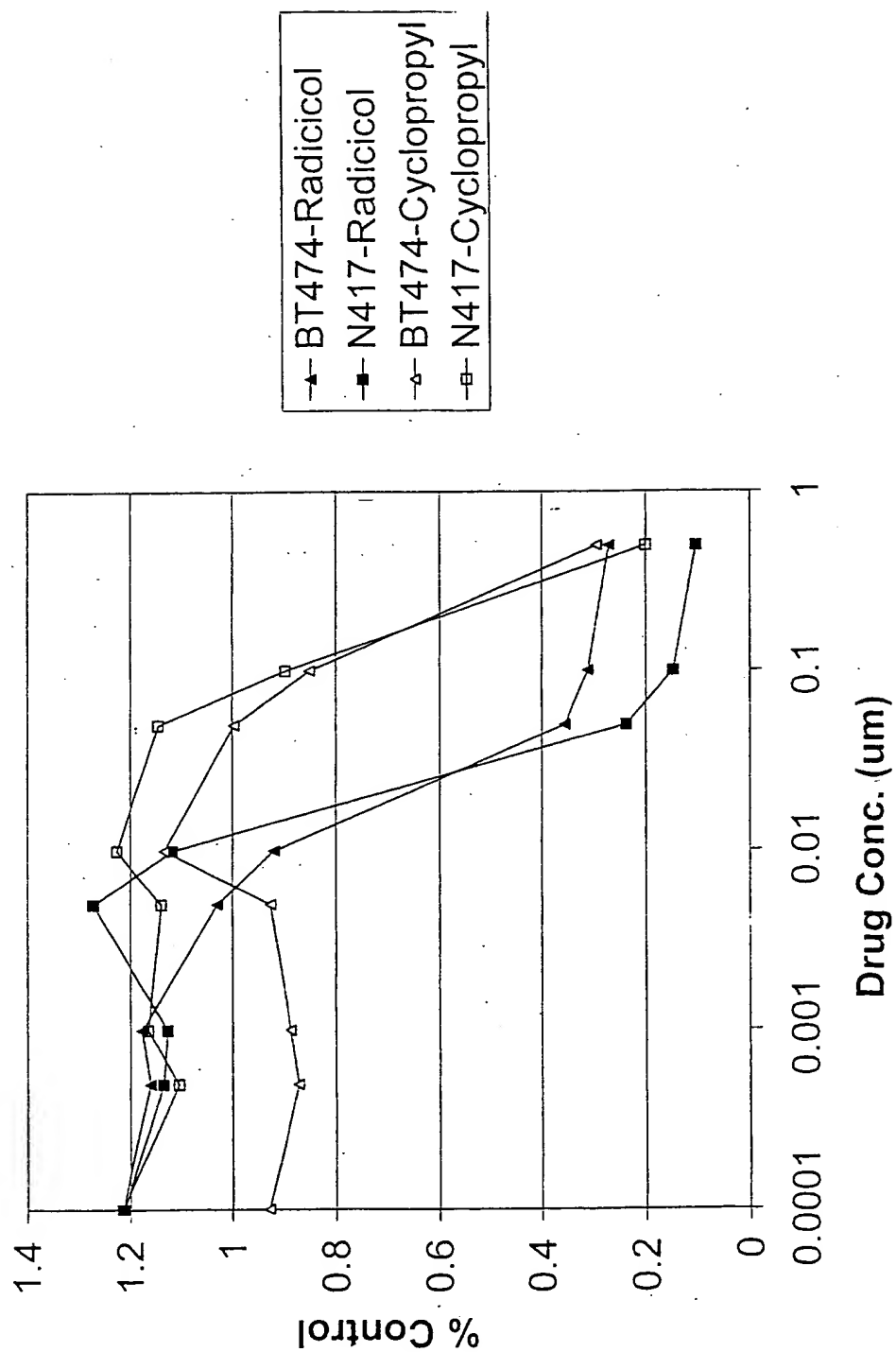


Figure 20

T014280"1548E660

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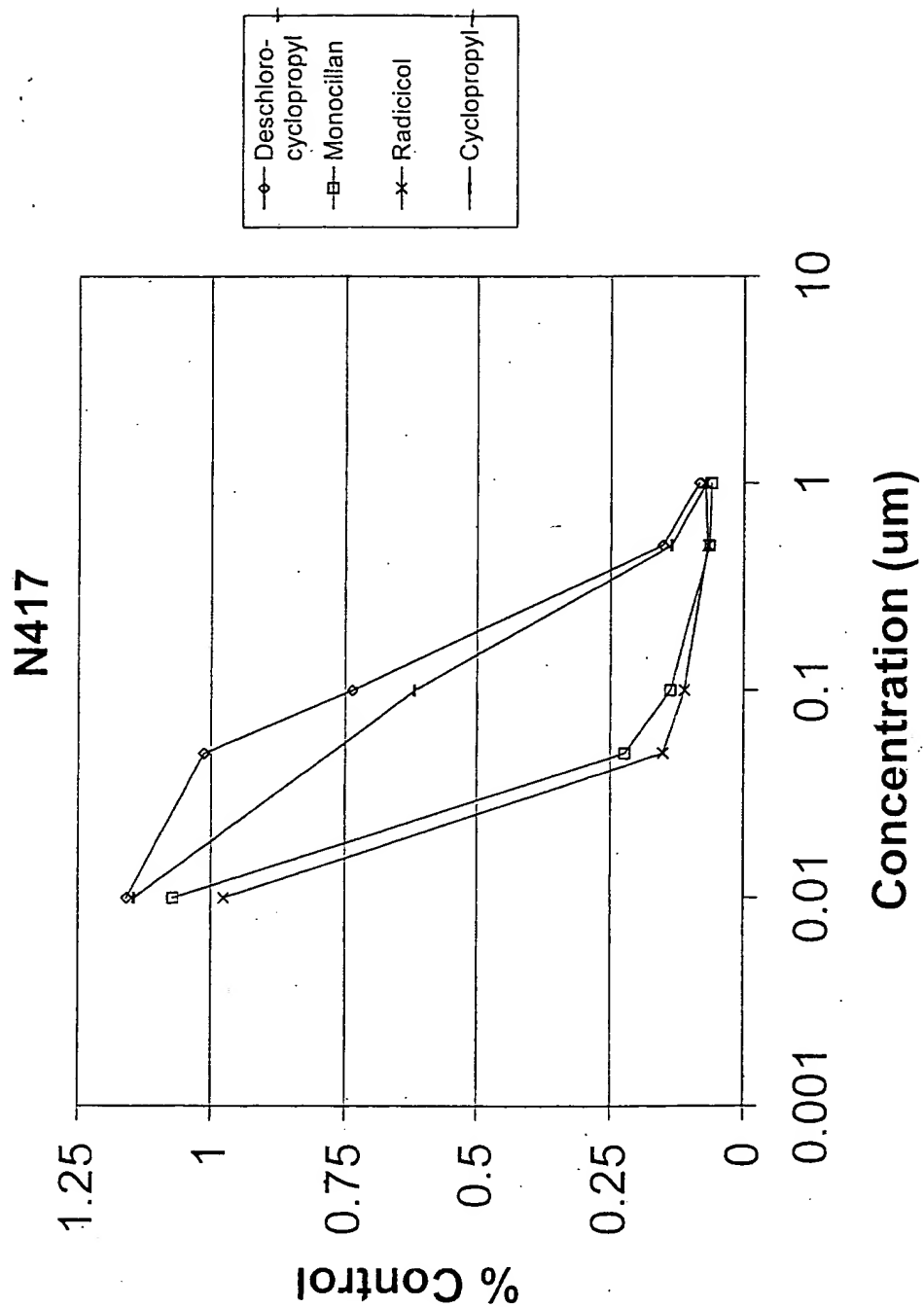


Figure 21